```
=> d his

     (FILE 'HOME' ENTERED AT 11:21:15 ON 12 OCT 2010)

     FILE 'REGISTRY' ENTERED AT 11:21:23 ON 12 OCT 2010
L1             STRUCTURE UPLOADED
L2           1 S L1
L3             STRUCTURE UPLOADED
L4           1 S L3
L5          20 S L3 SSS FUL
L6          18 S L5 AND CAPLUS/LC
L7           2 S L5 NOT L6

     FILE 'CAPLUS' ENTERED AT 11:33:04 ON 12 OCT 2010
L8          10 S L5
L9           7 S L8 NOT (2010/SO OR 2009/SO OR 2008/SO OR 2007/SO OR 2006/SO O

=> d l3
L3 HAS NO ANSWERS
L3             STR
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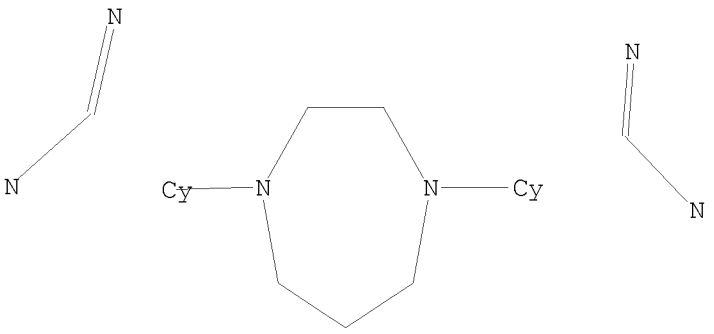

Structure attributes must be viewed using STN Express query preparation.

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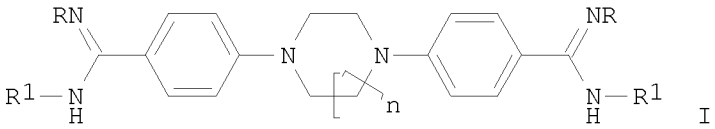
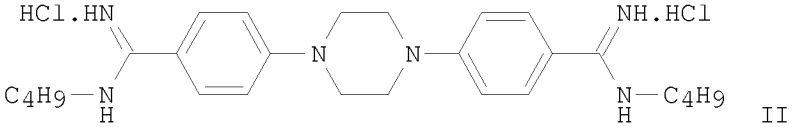
L9 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:706957 CAPLUS
DOCUMENT NUMBER: 149:54020
TITLE: Bisbenzamidines and bisbenzamidoximes as parasiticides and their preparation, pharmaceutical compositions and use in the treatment of human african trypanosomiasis
INVENTOR(S): Huang, Tien L.; Vanden Eynde, Jean-Jacques; Mayence, Annie; Bacchi, Cyrus; Donkor, Isaac O.; Kode, Nageswara
PATENT ASSIGNEE(S): Xavier University of Louisiana, USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008070831	A2	20080612	WO 2007-US86773	20071207
WO 2008070831	A3	20080828		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080139534	A1	20080612	US 2007-952455	20071207
PRIORITY APPLN. INFO.:			US 2006-873344P P	20061207

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 149:54020; MARPAT 149:54020
GI



I

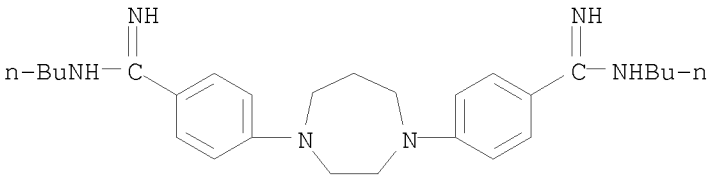


II

AB Disclosed are bisbenzamidine and bisbenzamidoxime compds. of formula I, which are useful in the treatment of human african trypanosomiasis. The compds. of formula I are useful for treating mammals infected with parasitic hemoflagellates, in particular Trypanosoma brucei gambiense and Trypanosoma brucei rhodesiense. Compds. of formula I wherein R is H and n-alkyl; R1 is H, OH, OCH3, (un)branched alkyl and cycloalkyl; n is 1-2; are claimed. Example compound II was prepared by imidation of 4,4'-(1,4-piperazinediyl)bisbenzonitrile; the resulting imidate underwent amidation with butylamine to give II. All the invention compds. were evaluated for their parasitic activity against human african trypanosomiasis. From the assay, it was determined that II exhibited an IC50 value of 0.0135 μM.

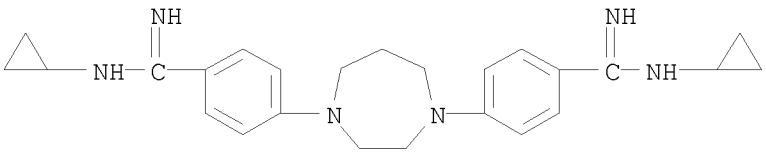
IT 396106-36-8 396106-39-1 692779-50-3
1032470-98-6 1032470-99-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug candidate; preparation of bisbenzamidines and bisbenzamidoximes as parasiticides useful in the treatment of human african trypanosomiasis)

RN 396106-36-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-butyl-, hydrochloride (1:2) (CA INDEX NAME)



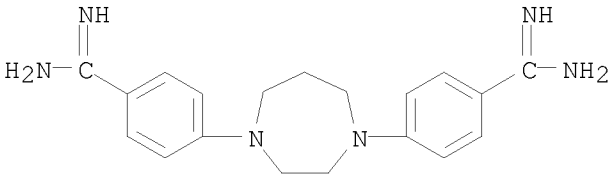
●2 HCl

RN 396106-39-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-cyclopropyl-, hydrochloride (1:2) (CA INDEX NAME)



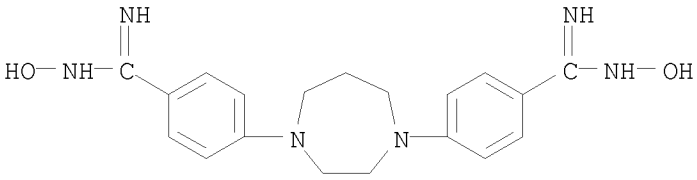
●2 HCl

RN 692779-50-3 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis-, hydrochloride (1:2) (CA INDEX NAME)



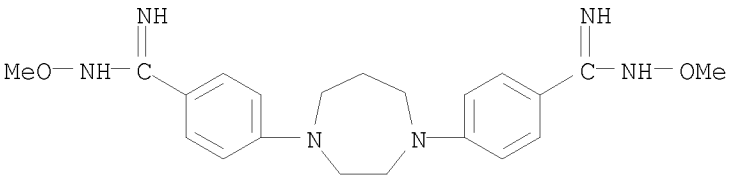
●2 HCl

RN 1032470-98-6 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-hydroxy-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 1032470-99-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-methoxy-, hydrochloride (1:2) (CA INDEX NAME)

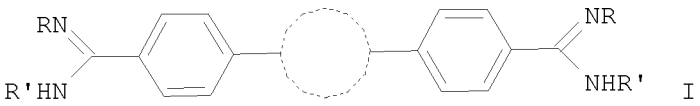


●2 HCl

L9 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:333447 CAPLUS
DOCUMENT NUMBER: 144:324797
TITLE: Bisbenzamidines for the treatment of Pneumocystis pneumonia or other infection
INVENTOR(S): Walzer, Peter D.; Cushion, Melanie T.; Mayence, Annie; Huang, Tien Liang; Vanden Eynde, Jean Jacques
PATENT ASSIGNEE(S): University of Cincinnati, USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006021833	A2	20060302	WO 2004-IB4468	20041124
WO 2006021833	A3	20060713		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080279917	A1	20081113	US 2007-595999	20071205

PRIORITY APPLN. INFO.: US 2003-525089P P 20031125
WO 2004-IB4468 W 20041124
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 144:324797
GI

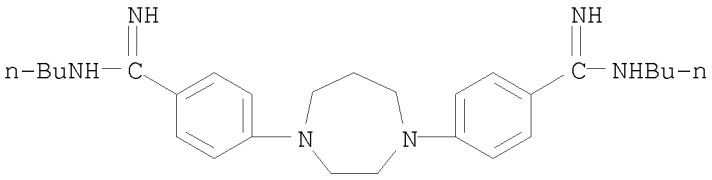


I

AB A method is disclosed for combating infectious agents, e.g. Pneumocystis pneumonia, as is a method for treating a subject in need of such treatment. The method comprises administering to the subject a compound I [linker = disubstituted cyclic moiety of any ring size and may contain ≥1 heteroatom; aromatic group is 1,2-; 1,3-; or 1,4- disubstituted; R = H, C1-20 (un)branched alkyl; R' = H, C1-20 (un)branched alkyl, aromatic ring, C3-8 cycloalkyl, OH, or R and R' may form cyclic structure that can be fused to another cyclic system], or a pharmaceutically acceptable salt thereof. Pharmaceutical formulations and active compds. useful in the practice of the invention are also disclosed. Compound preparation is described.
IT 396106-36-8 396106-39-1 692779-50-3

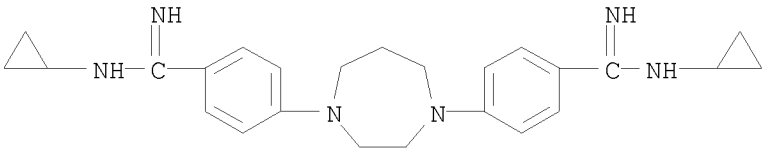
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bisbenzamidines for treatment of Pneumocystis pneumonia or other infection)

RN 396106-36-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-butyl-, hydrochloride (1:2) (CA INDEX NAME)



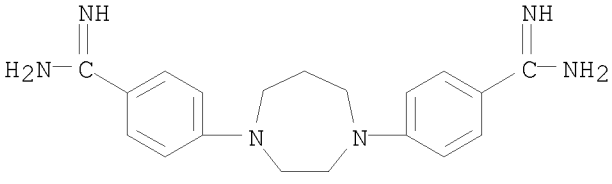
●2 HCl

RN 396106-39-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-cyclopropyl-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

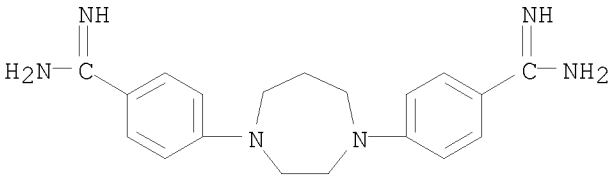
RN 692779-50-3 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:214095 CAPLUS
DOCUMENT NUMBER: 140:417313
TITLE: Evidences for the formation of bisbenzamidine-heme complexes in cell-free systems
AUTHOR(S): Mayence, Annie; Vanden Eynde, Jean Jacques; Huang, Tien L.
CORPORATE SOURCE: College of Pharmacy, Xavier University of Louisiana, Division of Basic Pharmaceutical Sciences, New Orleans, LA, 70125, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(7), 1625-1628
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB IR and colorimetry data suggest that bisbenzamidines connected by various rigid or flexible linkers are able to interact with heme in cell-free systems. At pH 5.0 the inhibition of formation of β-hematin could be ascertained by IR spectroscopy whereas at pH 7.0 the interaction yielded insol. complexes for which a sandwich-type structure of stoichiometry 2:1, heme-drug, is tentatively proposed.
IT 692779-50-3
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(complexes with heme; evidences for the formation of bisbenzamidine-heme complexes in cell-free systems)
RN 692779-50-3 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

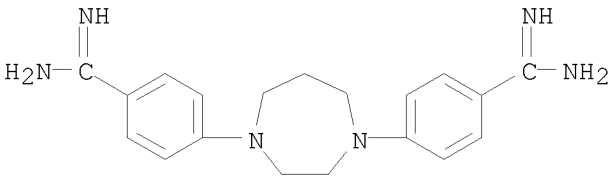
OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:114283 CAPLUS
DOCUMENT NUMBER: 138:280743
TITLE: Trypanocidal Activity of Conformationally Restricted Pentamidine Congeners
AUTHOR(S): Donkor, Isaac O.; Huang, Tien L.; Tao, Bin; Rattendi, Donna; Lane, Schennella; Vargas, Marc; Goldberg, Burt; Bacchi, Cyrus
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Tennessee Health Science Center, Memphis, TN, 38163, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(6), 1041-1048
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:280743

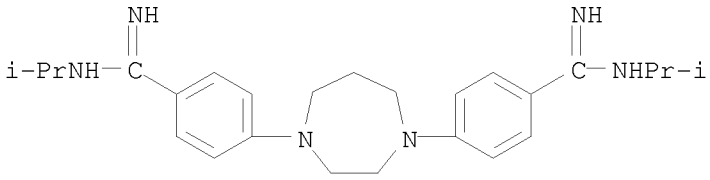
AB A series of conformationally restricted congeners of pentamidine in which the flexible pentyl bridge of pentamidine was replaced by trans-1,2-bismethylenecyclopropyl, Ph, pyridinyl, piperazinyl, homopiperazinyl, and piperidinyl groups were synthesized. The compds. were evaluated for trypanocidal activity in vitro and in vivo against one drug-sensitive and three drug-resistant trypanosome isolates. The DNA binding affinity of the compds. was also studied using calf thymus DNA and poly(dA-dT). The nature of the linker influenced the DNA binding affinity as well as the trypanocidal activity of the compds. trans-1,2-Bis(4-amidinophenoxymethylene)cyclopropane was over 25-fold more potent than pentamidine against the drug-resistant isolate KETRI 243As-10-3, albeit with comparable DNA binding affinity. N,N'-Bis(4-amidinophenyl)homopiperazine was the most potent trypanocide in vitro against all four trypanosome isolates studied, but N,N'-bis(4-amidinophenyl)piperazine was the most effective agent in vivo against both drug-sensitive and drug-resistant trypanosomes.

IT 232923-88-5P 503837-66-9P 503837-67-0P
503837-68-1P 503837-69-2P 503837-70-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(trypanocidal activity of conformationally restricted pentamidine congeners)

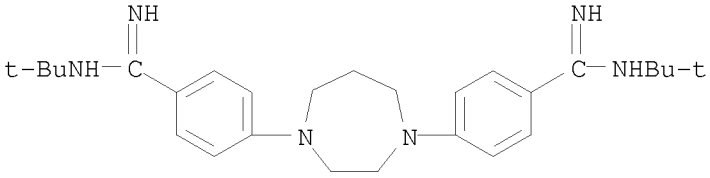
RN 232923-88-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis- (CA INDEX NAME)



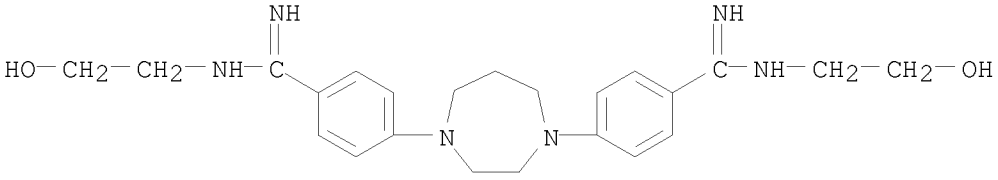
RN 503837-66-9 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(1-methylethyl)- (9CI) (CA INDEX NAME)



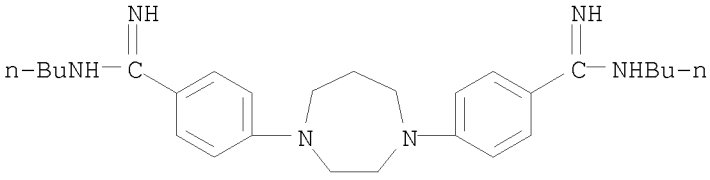
RN 503837-67-0 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



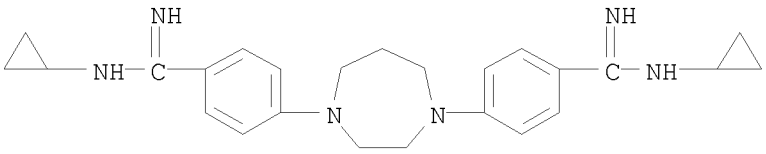
RN 503837-68-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 503837-69-2 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-butyl- (9CI) (CA INDEX NAME)

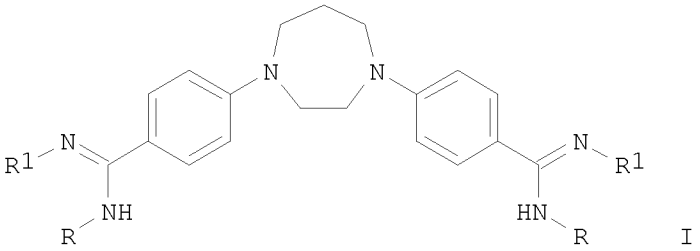


RN 503837-70-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-cyclopropyl- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT:	40	THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)
REFERENCE COUNT:	33	THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:746571 CAPLUS
DOCUMENT NUMBER: 136:167355
TITLE: N,N'-Bis[4-(N-alkylamidino)phenyl]homopiperazines as anti-Pneumocystis carinii agents
AUTHOR(S): Huang, T. L.; Tao, B.; Quarshie, Y.; Queener, S. F.; Donkor, I. O.
CORPORATE SOURCE: College of Pharmacy, Xavier University of Louisiana, New Orleans, LA, 70125, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(20), 2679-2681
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:167355
GI

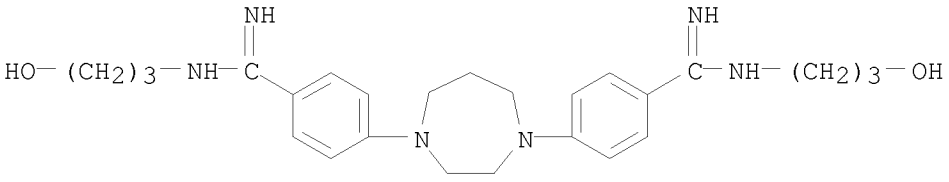


I

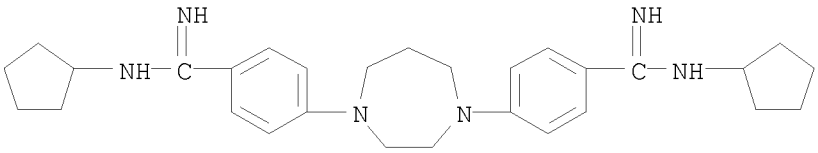
AB Di(alkylamidinophenyl)diazepines I [R = H, HO(CH2)n (n = 2, 3), Bu, Me3C, Me2CH, cyclopropyl, cyclopentyl; R1 = H; RR1 = (CH2)3] were prepared as potential agents for the treatment of Pneumocystis carinii pneumonia (PCP). I were tested for their inhibition of Pneumocystis carinii and for their binding to DNA. I [R = cyclopropyl; R1 = H and RR1 = (CH2)3] were the most potent and caused about 70% inhibition of Pneumocystis carinii growth in a cell culture model at 1 μM concns. There was no immediate correlation between the DNA binding properties of I and the inhibition of Pneumocystis carinii growth; I (R = cyclopentyl; R1 = H) bound most strongly to DNA but had no activity against Pneumocystis carinii in cell culture.

IT 396106-27-7 396106-32-4 503837-66-9
503837-67-0 503837-68-1 503837-69-2
503837-70-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation, DNA binding properties, and inhibition of Pneumocystis carinii by di(alkylamidinophenyl)diazepines)

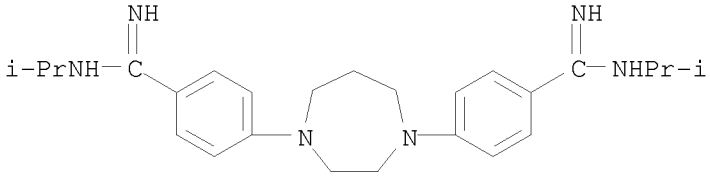
RN 396106-27-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)



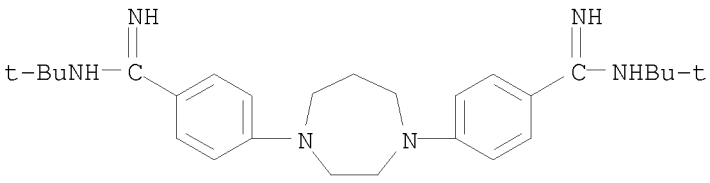
RN 396106-32-4 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-cyclopentyl- (9CI) (CA INDEX NAME)



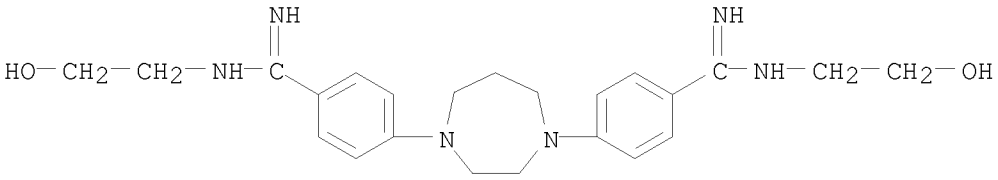
RN 503837-66-9 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(1-methylethyl)- (9CI) (CA INDEX NAME)



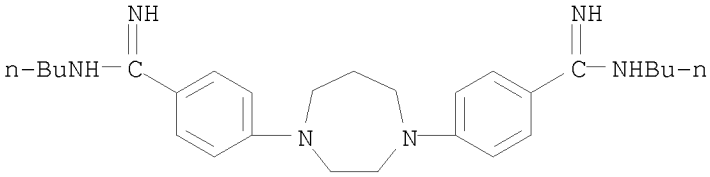
RN 503837-67-0 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



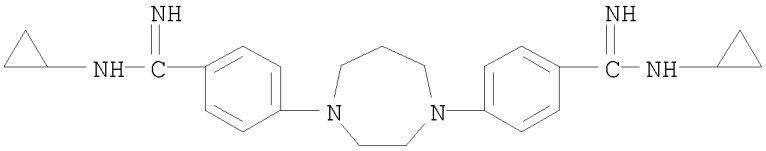
RN 503837-68-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



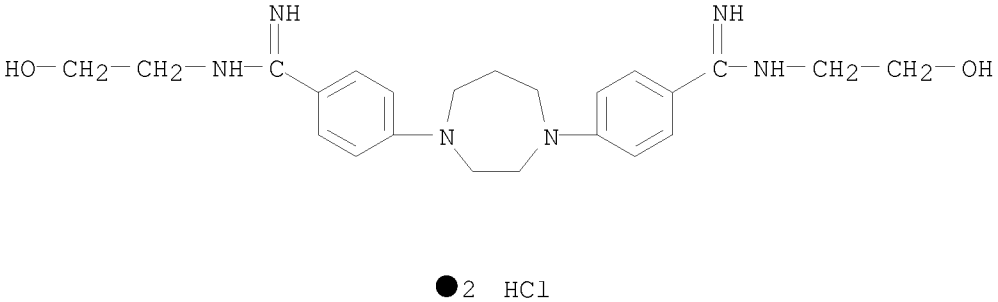
RN 503837-69-2 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-butyl- (9CI) (CA INDEX NAME)



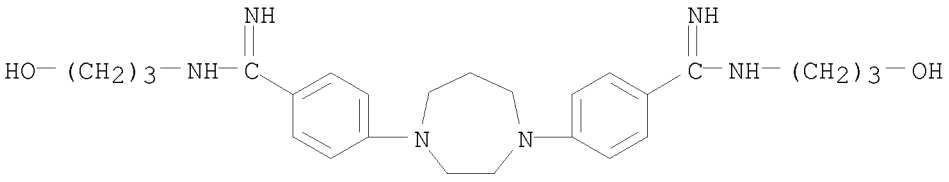
RN 503837-70-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-cyclopropyl- (9CI) (CA INDEX NAME)



IT 396106-34-6P 396106-35-7P 396106-36-8P
396106-37-9P 396106-38-0P 396106-39-1P
396106-40-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, DNA binding properties, and inhibition of Pneumocystis carinii by di(alkylamidinophenyl)diazepines)
RN 396106-34-6 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(2-hydroxyethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

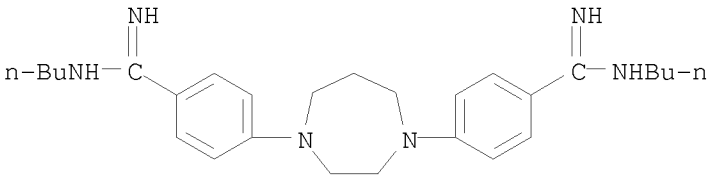


RN 396106-35-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(3-hydroxypropyl)-, dihydrochloride (9CI) (CA INDEX NAME)



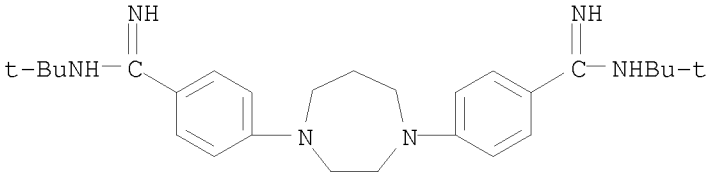
●2 HCl

RN 396106-36-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-butyl-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

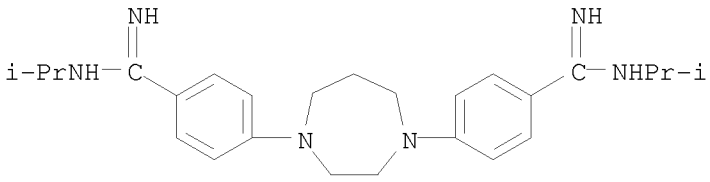
RN 396106-37-9 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-(1,1-dimethylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

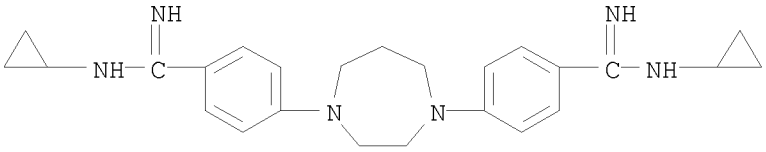
RN 396106-38-0 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-

diyl)bis[N-(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



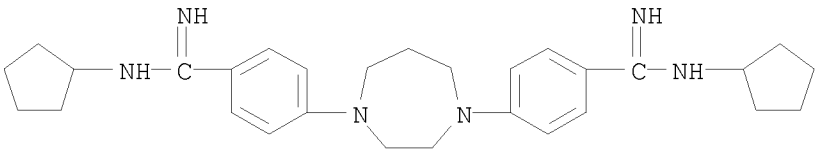
● 2 HCl

RN 396106-39-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-cyclopropyl-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

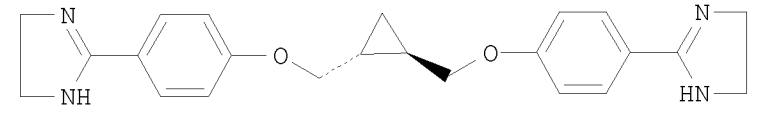
RN 396106-40-4 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-cyclopentyl-, dihydrochloride (9CI) (CA INDEX NAME)

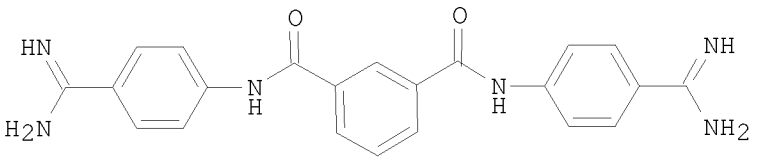


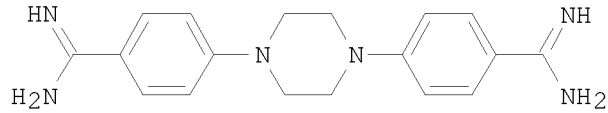
● 2 HCl

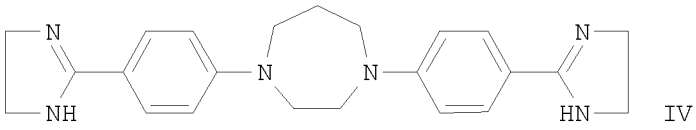
OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:615756 CAPLUS
DOCUMENT NUMBER: 131:348952
TITLE: Synthesis and anti-Pneumocystis carinii activity of conformationally restricted analogues of pentamidine
AUTHOR(S): Tao, Bin; Huang, Tien L.; Zhang, Qian; Jackson, Latasha; Queener, Sherry F.; Donkor, Isaac O.
CORPORATE SOURCE: College of Pharmacy, Xavier University of Louisiana, New Orleans, LA, 70125, USA
SOURCE: European Journal of Medicinal Chemistry (1999), 34(6), 531-538
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI


I


II


III

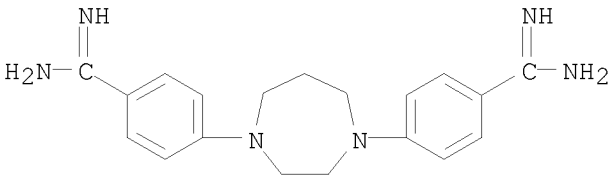

IV

AB A series of conformationally restricted analogs of pentamidine in which the flexible central bridge has been replaced by trans-cyclopropyl, Ph, pyridinyl, piperazinyl or homopiperazinyl groups as conformationally restricted linkers have been synthesized. The anti-Pneumocystis carinii activity of these compds. was evaluated in a cell culture model and the DNA binding affinity was determined by thermal denaturation measurements. At 1 μM, 5 of the analogs and pentamidine were highly effective and caused total inhibition of P. carinii growth in culture. At 0.1 μM, compds. I, II, III, and IV were more active than pentamidine with III being approx. 15-fold more effective than pentamidine. The most active compds., III and IV, showed strong binding affinities for calf thymus DNA and poly(dA-dT); however, a clear correlation between DNA binding affinity and the in vitro anti-P. carinii activity of these compds. was not observed The results suggest that the nature of the central linker influences the biol. actions of these compds.
IT 232923-88-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and anti-Pneumocystis carinii activity of conformationally restricted analogs of pentamidine)

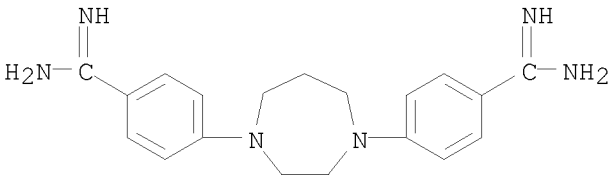
RN 232923-88-5 CAPLUS

CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis- (CA INDEX NAME)



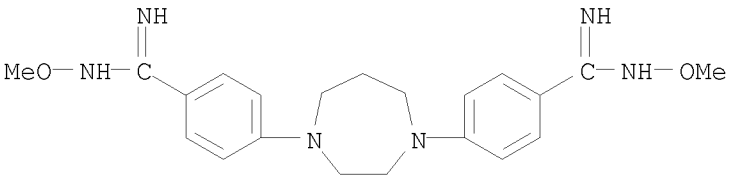
OS.CITING REF COUNT:	26	THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)
REFERENCE COUNT:	20	THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:310761 CAPLUS
DOCUMENT NUMBER: 131:110891
TITLE: Novel bisbenzamidines and bisbenzimidazolines as noncompetitive NMDA receptor antagonists
AUTHOR(S): Tao, Bin; Huang, Tien L.; Sharma, Terre A.; Reynolds, Ian J.; Donkor, Isaac O.
CORPORATE SOURCE: College of Pharmacy, Xavier University of Louisiana, New Orleans, LA, 70125, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(9), 1299-1304
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of novel bisbenzamidines and bisbenzimidazolines with different linkers connecting the aromatic groups was tested in vitro for NMDA receptor antagonist activity. IC50 values for these compds. ranged from 1.2 to >200 μM. The bisbenzamidine with a homopiperazine ring as the central linker was the most potent NMDA receptor antagonist among all the pentamidine analogs tested so far.
IT 232923-88-5
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bisbenzamidines and bisbenzimidazolines as noncompetitive NMDA receptor antagonists)
RN 232923-88-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis- (CA INDEX NAME)



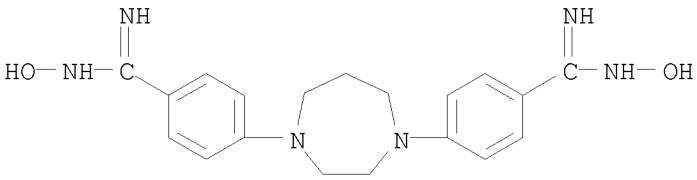
OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN
RN 1032815-30-7 REGISTRY
ED Entered STN: 04 Jul 2008
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-methoxy- (CA INDEX NAME)
MF C21 H28 N6 O2
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L7 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN
RN 1032815-29-4 REGISTRY
ED Entered STN: 04 Jul 2008
CN Benzenecarboximidamide, 4,4'-(tetrahydro-1H-1,4-diazepine-1,4(5H)-diyl)bis[N-hydroxy- (CA INDEX NAME)
MF C19 H24 N6 O2
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT